Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: J. Eric E. Han Examiner #: 7355 Date: 12-4-02
Art Unit: 1654 Phone Number 30 5-3973 Serial Number: 09/808,832
Mail Box and Bldg/Room Location: CM1-1D12/CM1-1B07 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

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Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

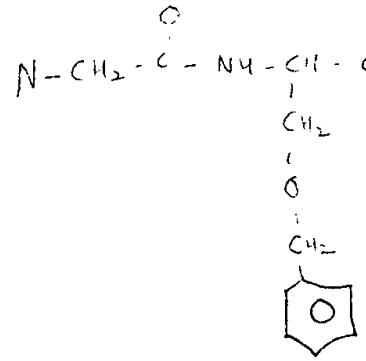
Title of Invention: Peptidase - Cleavable, Targeted Antineoplastic Drugs And Their Therapeutic Uses

Inventors (please provide full names): [redacted], Copeland, C Albright

Earliest Priority Filing Date: 3-15-2001

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

Please search the following partial structure:



Edward Han
Technical Info. Specialist
STIC/Biotech
CMI 6B02 Tel: 305-9203

If there are many hits, please use the keywords MMP, metalloproteinase, matrixin, stromelysin, gelatinase, conjugat?, linker, linking.
There's no need to broaden the search if you don't find any hits.
Thank you.

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STAFF USE ONLY

Searcher: _____
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: 12/6/02
Date Completed: 12/12/02
Searcher Prep & Review Time: _____
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Online Time: _____

Type of Search

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) _____
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

Vendors and cost where applicable

STN _____
Dialog _____
Questel/Orbit _____
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Sequence Systems _____
WWW/Internet _____
Other (specify) _____

PTO-1590 (8-01)

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=> file hcaplus
FILE 'HCAPLUS' ENTERED AT 10:33:44 ON 12 DEC 2002
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FILE COVERS 1907 - 12 Dec 2002  VOL 137 ISS 24
FILE LAST UPDATED: 11 Dec 2002  (20021211/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

CAS roles have been modified effective December 16, 2001. Please
check your SDI profiles to see if they need to be revised. For
information on CAS roles, enter HELP ROLES at an arrow prompt or use
the CAS Roles thesaurus (/RL field) in this file.

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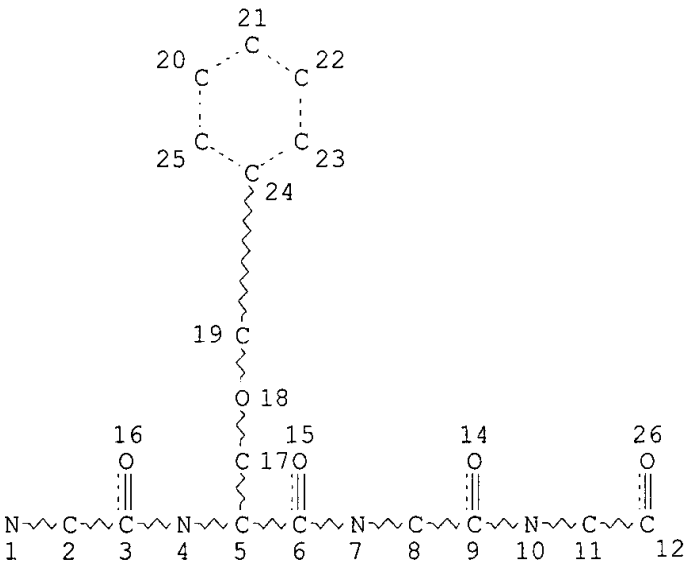


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  25

STEREO ATTRIBUTES: NONE
L3          4179 SEA FILE=REGISTRY SSS FUL L1
L4          1114 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L3
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L5          474 SEA FILE=REGISTRY ABB=ON  PLU=ON  MMP?
L6         1316 SEA FILE=REGISTRY ABB=ON  PLU=ON  METALLOPROTE?
L7          159 SEA FILE=REGISTRY ABB=ON  PLU=ON  STROMELYSIN/BI
L8          149 SEA FILE=REGISTRY ABB=ON  PLU=ON  GELATINASE/BI
L9       179969 SEA FILE=HCAPLUS ABB=ON   PLU=ON  L5 OR MMP
L10       31893 SEA FILE=HCAPLUS ABB=ON   PLU=ON  L6 OR METALLOPROTE?
L11          59 SEA FILE=HCAPLUS ABB=ON   PLU=ON  MATRIXIN
L12        2634 SEA FILE=HCAPLUS ABB=ON   PLU=ON  L7 OR STROMELYSIN
L13        6230 SEA FILE=HCAPLUS ABB=ON   PLU=ON  L8 OR GELATINASE
L14           6 SEA FILE=HCAPLUS ABB=ON   PLU=ON  L4 AND (L9 OR L10 OR L11 OR
                 L12 OR L13)
L16          29 SEA FILE=HCAPLUS ABB=ON   PLU=ON  L4 (L) (CONJUGAT? OR LINK?)
L17          34 SEA FILE=HCAPLUS ABB=ON   PLU=ON  L16 OR L14


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L17  ANSWER 1 OF 34  HCAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2002:889545  HCAPLUS
TITLE:                    Method of treating cancer using conjugate of
                          oligopeptide that is selectively cleaved by PSA and a
                          cytotoxic agent in combination with radiation therapy
INVENTOR(S):              Yao, Sui-long; Jones, Raymond E.; Defeo-Jones,
                          Deborah; Heimbrook, David C.; Rhymer, Patricia;
                          Wasserbly, Pamela J.
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 67 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     US 2002173451      A1   20021121      US 2001-969244   20011002
PRIORITY APPLN. INFO.:                   US 2000-242815P  P  20001024
AB   The present invention relates to a method of treating cancer, and more
     particularly cancer associated with cells that produce and secrete prostate
     specific antigen (PSA), which is comprised of administering to a patient
     in need of such treatment a therapeutically effective amount of at least one
     conjugate (hereinafter referred to as a PSA conjugate), which comprises an
     oligopeptide that is selectively cleaved by PSA and a cytotoxic agent, in
     combination with radiation therapy.  The preparation of conjugates of
     doxorubicin and vinblastine is presented.
IT   INDEXING IN PROGRESS
IT   408502-26-1DP, resin-bound 475631-16-4DP, resin-bound
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (method of treating cancer using conjugate of oligopeptide
        that is selectively cleaved by PSA and a cytotoxic agent in combination
        with radiation therapy)

L17  ANSWER 2 OF 34  HCAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2002:276519  HCAPLUS
DOCUMENT NUMBER:          136:310188
TITLE:                    Treatment of cancer with a prostate specific antigen
                          (PSA) conjugate and an NSAID compound
INVENTOR(S):              Heimbrook, David C.; Yao, Siu-long
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 129 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
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LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002042375	A1	20020411	US 2001-896245	20010629

PRIORITY APPLN. INFO.: US 2000-216217P P 20000705
OTHER SOURCE(S): MARPAT 136:310188
AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a nonsteroidal antiinflammatory agent (NSAID) and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agent. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and COX-2 inhibitor 3-phenyl-4-[4-(4-methylsulfonyl)phenyl]-2(5H)furanone is an example of an NSAID compound (syntheses given).
IT **408502-26-1DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of cancer with prostate specific antigen (PSA) **conjugate** and NSAID compound)

L17 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:276430 HCAPLUS
DOCUMENT NUMBER: 136:310187
TITLE: Treatment of cancer with a prostate specific antigen (PSA) conjugate and an inhibitor of angiogenesis
INVENTOR(S): Defeo-Jones, Deborah; Heimbrook, David C.; Jones, Raymond E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 102 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002041880	A1	20020411	US 2001-896251	20010629

PRIORITY APPLN. INFO.: US 2000-215934P P 20000705
OTHER SOURCE(S): MARPAT 136:310187
AB The invention relates to methods of treating cancer using a combination of a compound which is a PSA conjugate and a compound which is an inhibitor of angiogenesis and to methods of preparing such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agents. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg = cyclohexylglycine) and 3-(3-thienyl)-6-(4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine is an example of an angiogenesis inhibitor (syntheses given).
IT **408502-26-1DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treatment of cancer with a prostate specific antigen (PSA) **conjugate** and an inhibitor of angiogenesis)

L17 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:693138 HCAPLUS
DOCUMENT NUMBER: 135:273218
TITLE: Preparation of peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use

INVENTOR(S): Copeland, Robert A.; Albright, Charles F.; Combs, Andrew P.; Dowling, Radine L.; Graciani, Nilsa R.; Han, Wei; Higley, C. Anne; Huang, Pearl S.; Yue, Eddy W.; Dimeo, Susan V.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068145	A2	20010920	WO 2001-US8589	20010315
WO 2001068145	A3	20020711		
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IN, JP, KR, LT, LU, LV, MX, NZ, PL, PT, RO, RU, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2002103133	A1	20020801	US 2001-808832	20010315
EP 1263473	A2	20021211	EP 2001-918798	20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, CY, TR				

PRIORITY APPLN. INFO.: US 2000-189387P P 20000315
WO 2001-US8589 W 20010315

OTHER SOURCE(S): MARPAT 135:273218

AB This invention is directed to antineoplastic agents conjugated to enzyme-cleavable peptides comprising the amino acid recognition sequence of a membrane-bound and/or cell-secreted peptidase. The conjugated compds. are for use as chemotherapeutic agents in the targeted treatment of cancers. Claimed peptide sequences include Cap-Paa-Xa2-Gly-Xp1-Laa, where Cap is an N-terminus group R, Xa4 or R-Xa4 (R is an amino capping group, Xa4 is an amino acid), Paa is Pro, 4-hydroxyproline (Hyp), 2-carboxyazetidine (Aze), homo-Pro, cyclohexylglycine (Chg), 4-fluorophenylalanine (Fph), nipecotic acid (Npa), 4-thiazolidinecarboxylic acid (Tzc), or proline mimetic; Xa2 is an amino acid; Xp1 is is an amino acid wherein -Gly-Xp1- or -Sar-Xp1 form a bond cleavable by a **matrixin**; Laa is an amino acid, e.g., Leu, Ile, Nle, β-homo-Leu, homoleucine, homoserine, Ala and cyclohexylalanine. Thus, peptide conjugate Ac-PLGLYL-Dox (Dox = doxorubicin) was prepared by the solid phase method and evaluated for stability in blood and cleavage with **MMPs** and neprilysin.

IT **360780-56-9P 360781-10-8P 360781-12-0P**
360781-19-7P 360781-20-0P 360781-25-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antineoplastic agents **conjugated** to enzyme-cleavable peptides)

IT **146480-35-5, Gelatinase** A **146480-36-6, Gelatinase** B **161384-17-4**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(preparation of antineoplastic agents conjugated to enzyme-cleavable peptides)

L17 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:643798 HCAPLUS
DOCUMENT NUMBER: 133:350495
TITLE: Direct identification of a novel disulfide bond linkage system of new isolated isomer (isomer V) in

recombinantly produced h-IGF-I
AUTHOR(S): Iwai, Michio; Yokoyama, Hideyuki; Yamada, Hisashi; Niwa, Mineo; Kobayashi, Masakazu
CORPORATE SOURCE: Marine Technical College, Faculty of Liberal Arts and Science, Ashiya, 659-0026, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(9), 1304-1309
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Insulin-like growth factor I (IGF-I or somatomedin C) is a serum polypeptide with three intramol. disulfide bonds. In the course of synthesis by the recombinant DNA method, three disulfide bond isomers, all of which have Cys18-Cys61 with three combinations of two disulfide bonds formed by Cys6, Cys47, Cys48 and Cys52, were identified. Natural type, isomer II, was proved to have a Cys6-Cys48, Cys18-Cys61, Cys47-Cys52 disulfide bond system. Now, the fourth isomer, isomer V, which does not have Cys18-Cys61 disulfide, has been isolated, and its novel disulfide bond linkage system was identified by a chem. synthetic method. The supposed conformation constrained in 3D structure for isomer V would be discussed for its biol. activity.
IT **304011-41-4DP**, resin-bound **304011-42-5DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthetic methods for the direct determination of the disulfide bond **linkages** in the newly isolated isomer V of the recombinantly produced insulin-like growth factor-I)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:288387 HCAPLUS
DOCUMENT NUMBER: 133:17802
TITLE: Improved synthesis of difficult peptides using Boc chemistry and a novel linker
AUTHOR(S): Kalbag, Suresh; Narindray, Daljit; Slavazza, Dario
CORPORATE SOURCE: Departments of QC Biochemistry, Genentech, Inc., S. San Francisco, CA, 94080, USA
SOURCE: Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 102-103. Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Akademiai Kiado: Budapest, Hung.
CODEN: 68WKAY
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report. Solid state peptide synthesis was carried out using the linker 2-hydroxyethyl-dithio-propionylamino-MBHA.
IT **271794-97-9P 271794-98-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of difficult peptides using Boc chem. and novel **linker**)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:779214 HCAPLUS
DOCUMENT NUMBER: 132:26815
TITLE: Conjugates useful in the treatment of prostate cancer
INVENTOR(S): Feng, Dong-Mei; Garsky, Victor M.; Jones, Raymond E.; Wai, Jenny M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 59 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5998362	A	19991207	US 1997-926412	19970909

AB Chem. conjugates which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate specific antigen (PSA), hydrophilic oligopeptide blocking groups and known cytotoxic agents are disclosed. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy (BPH).

IT **205186-90-9DP**, PAM resin **conjugates**
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(antitumor-peptide **conjugates** useful in the treatment of prostate cancer)

IT **205186-89-6D**, PAM resin **conjugates**
RL: RCT (Reactant); RACT (Reactant or reagent)
(antitumor-peptide **conjugates** useful in the treatment of prostate cancer)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:726856 HCAPLUS
DOCUMENT NUMBER: 132:122920
TITLE: The synthesis of arginine-containing peptides and their conjugates with protohemin IX and tetraphenylporphyrin
AUTHOR(S): Evstigneeva, R. P.; Zheltukhina, G. A.; Khalil, V.; Efimova, E. I.
CORPORATE SOURCE: Lomonosov State Academy of Fine Chemical Technology, Moscow, 117571, Russia
SOURCE: Bioorganicheskaya Khimiya (1999), 25(8), 572-580
CODEN: BIKHD7; ISSN: 0132-3423
PUBLISHER: MAIK Nauka
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Arginine-containing peptides and their conjugates with protohemin were synthesized by the solid phase method using Merrifield resin. The conjugates of arginine containing peptides with tetraphenylporphyrin were obtained by using phosphorus trichloride as an activating agent.

IT **256391-34-1DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of arginine-containing peptides and their **conjugates** with protohemin and tetraphenylporphyrin)

IT **256398-75-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of arginine-containing peptides and their **conjugates** with protohemin and tetraphenylporphyrin)

L17 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:567008 HCAPLUS
DOCUMENT NUMBER: 131:322896
TITLE: A novel resin linker for solid-phase peptide synthesis which can be cleaved using two sequential mild reactions
AUTHOR(S): Zheng, Ailian; Shan, Daxian; Shi, Xuling; Wang, Binghe

CORPORATE SOURCE: Department of Chemistry, North Carolina State University, Raleigh, NC, 27695-8204, USA
SOURCE: Journal of Organic Chemistry (1999), 64(20), 7459-7466
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
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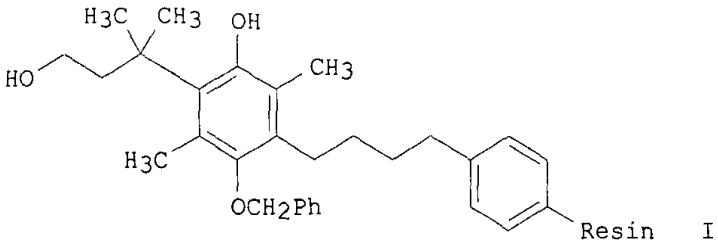

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AB The interest in developing new linkers for solid-phase peptide and organic synthesis has increased tremendously as a result of the rapid development of combinatorial chem. Here, the development of a new redox-sensitive linker for solid-phase peptide synthesis is described. This linker can be readily cleaved under mild conditions by using two sequential mild reactions, a reduction followed by a base (Bu4N+F-)-catalyzed cyclic ether formation. Using the Merrifield resin-bound quinone linker I, peptides Boc-Trp-Ala-Gly-Gly-OH and Boc-Asn-Ala-Ser(CH2Ph)-Gly-Glu(OCH2Ph)-OH were synthesized. Because the cleavage does not use acidic conditions, this resin linker provides an alternative when acidic conditions are not desirable. Furthermore, the cleavage conditions do not affect most of the side chain protecting group. Therefore, the synthetic peptides can be used for the segment synthesis of larger peptides without the need to reprotect the side chain functional groups.

IT **249589-49-9P 249589-51-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase peptide synthesis using a redox-sensitive, quinone-derived resin **linker** that can be cleaved under mild conditions)

IT **249589-42-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase peptide synthesis using a redox-sensitive, quinone-derived resin **linker** that can be cleaved under mild conditions)

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

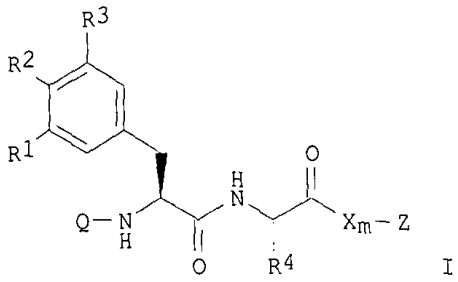
L17 ANSWER 10 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:487893 HCAPLUS
DOCUMENT NUMBER: 131:286798
TITLE: Synthesis of Two Possible Disulfide Bonds Containing Peptide Fragments (Cys6-Cys47, Cys48-Cys52 (Type I), and Cys6-Cys48, Cys47-Cys52 (Type II) of h-IGF-I) for the Identification of Disulfide Bond Linkage in Recombinantly Produced h-IGF-I
AUTHOR(S): Iwai, Michio; Yamada, Hisashi; Ishii, Yoshinori; Tamura, Kouichi; Niwa, Mineo; Kobayashi, Masakazu
CORPORATE SOURCE: Dep. Chem., Fac. Liberal Arts and Sci., Marine Technical College, Ashiya, Hyogo, 659-0026, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1999), 72(8), 1827-1835
CODEN: BCSJA8; ISSN: 0009-2673

PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The primary structure of human IGF-I, except for the disulfide bond system, has been reported by Rinderknecht and Humbel. IGF-I afforded the corresponding characteristic peptide fragments on V8 protease digestion, which contained Cys6, Cys47, Cys48, and Cys52. Two possible fragments, Type I with Cys6-Cys47 and Cys48-Cys52 and Type II with Cys6-Cys48 and Cys47-Cys52 of h-IGF-I(4-9,47-53), were chem. synthesized. The disulfide bond system of IGF-I was unequivocally determined to be the Type-II form along with Cys18-Cys61. Interestingly, the Type-I system was included in the disulfide bond isomer produced as the main byproduct in the refolding step on IGF-I synthesis by the recombinant DNA method.
IT **246849-51-4P 246849-52-5P 246849-53-6P**
246849-54-7P 246849-55-8P 246849-56-9P
246849-57-0P 246849-58-1P 246849-59-2P
246849-60-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of in the synthesis of two possible disulfide bonds for the identification of disulfide bond **linkage** in recombinantly produced h-IGF-I)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:425789 HCAPLUS
DOCUMENT NUMBER: 131:55803
TITLE: Synthetic peptide substrates for the determination of human pepsinogen II or pepsin II for diagnosis of stomach diseases
INVENTOR(S): Hayashi, Akio; Matsuo, Masayoshi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932511	A1	19990701	WO 1998-JP5780	19981221
W: JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1046650	A1	20001025	EP 1998-961451	19981221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6441131	B1	20020827	US 2000-581944	20000620

PRIORITY APPLN. INFO.: JP 1997-364796 A 19971222
JP 1998-213513 A 19980713
WO 1998-JP5780 W 19981221
OTHER SOURCE(S): MARPAT 131:55803
GI



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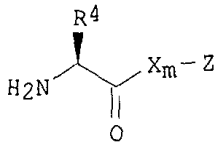


II

AB Provided are synthetic peptides I (Q=Qa(AA)n [AA=amino acid residues; n=0-15 integral; Qa=H, C1-4 alkyl, amino group-protecting groups, D- or L-amino or NH2(CH2)rCO (r=2-7 integral)]; R1,R2=H, halo on aromatic ring; R3=H, halo; R4=H, C1-3 alkyl or hydroxymethyl; X=D- or L-amino acid; m=0, 1; Z=aniline derivative, aminocumarine derivative, amino-naphthalene derivative; when n=>2, AA may be same or different amino acids; R1≠R2≠R3=H) for use as a substrate for the determination of human body fluid pepsinogen II or pepsin II during diagnosis of stomach diseases such as cancer or ulcer. Upon digestion of I with human pepsin II, II (R4, X, m, Z as in I) may be obtained and its aminopeptidase-digested product ZH may be determined Synthesis of Pro-Leu-Ser-Glu-Ala-(2-Naphthyl)Ala-p-aniline and other I, and determination of pepsin II in a blood anal. using I as a substrate were demonstrated.

IT **228103-11-5DP, conjugate** with oxime resin
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthetic peptide substrates for determination of human pepsinogen II or pepsin II for diagnosis of stomach diseases)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 12 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:166639 HCAPLUS
DOCUMENT NUMBER: 130:209984
TITLE: Synthesis of cyclosporin A conjugates for treatment of neurological disorders
INVENTOR(S): Rich, Daniel H.; Solomon, Michael E.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910374	A1	19990304	WO 1998-US17544	19980825

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9892038	A1	19990316	AU 1998-92038		19980825
US 6316405	B1	20011113	US 1999-242724		19990222
PRIORITY APPLN. INFO.:			US 1997-57751P	P	19970826
			WO 1998-US17544	W	19980825

OTHER SOURCE(S): MARPAT 130:209984

AB Cyclosporin A (CsA) conjugates, cyclo(V-Abu-W-X-Val-X'-Y(Z)-D-Ala-MeLeu-MeLeu-MeVal) [V = MeLeu(3-OH), MeLeu, MeSer, MeSer-PG, MeThr, MeThr-PG, where PG is a side-chain protecting group; W = D-N-Me amino acid or N-methylglycyl residue; X, X' = N-methylleucinyl or N-methylalanyl residue; Y = lysyl, homo-lysyl, ornithinyl, lysyl-PG, homo-lysyl-PG, or ornithinyl-PG residue; Z is a polypeptide comprising 5 or more contiguous residues of Aβ peptide], were prepared for the treatment of neurol. disorders. Thus, the synthesis of Ac-EKLVFF-NH2/[MeLeu(3-OH)1,D-MeAla4,6,Lys7]CsA conjugate is described.

IT **220871-27-2P 220871-28-3P 220871-29-4P**
220871-30-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of cyclosporin A **conjugates** for treatment of neurol. disorders)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	1999:90333 HCAPLUS
DOCUMENT NUMBER:	130:167157
TITLE:	Oligopeptides recognized and cleavable by free prostate specific antigen for treating prostate cancer
INVENTOR(S):	Defeo-Jones, Deborah; Garsky, Victor M.; Feng, Dong-Mei; Jones, Raymond E.; Oliff, Allen I.
PATENT ASSIGNEE(S):	Merck and Co., Inc., USA
SOURCE:	U.S., 100 pp., Cont.-in-part of U.S. Ser. No. 468,161. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5866679	A	19990202	US 1995-540412	19951006
US 5599686	A	19970204	US 1994-267092	19940628
US 6143864	A	20001107	US 1995-468161	19950606
CA 2233272	AA	19970410	CA 1996-2233272	19961002
WO 9712624	A1	19970410	WO 1996-US15713	19961002
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9672034	A1	19970428	AU 1996-72034	19961002
EP 853483	A1	19980722	EP 1996-933210	19961002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 10512588	T2	19981202	JP 1996-514360	19961002

US 6130204	A	20001010	US 1998-51342	19980406
AU 9864763	A1	19980723	AU 1998-64763	19980506
AU 714288	B2	19991223		

PRIORITY APPLN. INFO.:
US 1994-267092 A2 19940628
US 1995-404833 B2 19950315
US 1995-468161 A2 19950606
AU 1995-30922 A3 19950607
US 1995-540412 A 19951006
AU 1996-72034 A3 19961002
WO 1996-US15713 W 19961002

OTHER SOURCE(S): MARPAT 130:167157

AB Oligopeptides which comprise amino acid sequences that are recognized and proteolytically cleaved by free prostate specific antigen (PSA) are described. Also described are assays which comprise such oligopeptides useful for determining free PSA protease activity *in vitro* and *in vivo*. Therapeutic agents which comprise conjugates of such oligopeptides and known therapeutic or cytotoxic agents are also described. The oligopeptide conjugates are useful for treatment of prostate cancer.

IT **189513-07-3D**, *resin-bound*
RL: RCT (Reactant); RACT (Reactant or reagent)
(oligopeptides recognized and cleavable by free prostate specific antigen protease and **conjugates** with cytotoxic agent for treating prostate cancer)

IT **220306-52-5DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oligopeptides recognized and cleavable by free prostate specific antigen protease and **conjugates** with cytotoxic agent for treating prostate cancer)

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:812106 HCAPLUS
DOCUMENT NUMBER: 130:153953
TITLE: Synthesis of a Glycopeptide Containing Oligosaccharides: Chemoenzymic Synthesis of Eel Calcitonin Analogs Having Natural N-Linked Oligosaccharides
AUTHOR(S): Mizuno, Mamoru; Haneda, Katsuji; Iguchi, Reiko; Muramoto, Ikuyo; Kawakami, Toru; Aimoto, Saburo; Yamamoto, Kenji; Inazu, Toshiyuki
CORPORATE SOURCE: Noguchi Institute, Kaga Itabashi-ku Tokyo, 173-0003, Japan
SOURCE: Journal of the American Chemical Society (1999), 121(2), 284-290
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:153953

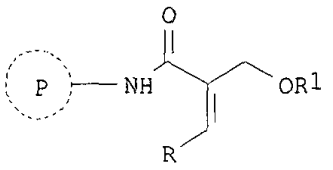
AB A novel chemoenzymic synthesis of eel calcitonin (eCT) glycopeptide analogs having natural N-linked oligosaccharides, such as a disialo biantennary complex-type [(NeuAc-Gal-GlcNAc-Man)2-Man-GlcNAc2], an asialo complex-type [(Gal-GlcNAc-Man)2-Man-GlcNAc2], and a high-mannose type [Man6-GlcNAc2] as model compds. for glycoprotein synthesis is described. First, a glycoprotein containing N-acetylglucosamine (GlcNAc) was prepared by a chem. synthesis. Next, natural oligosaccharides were added to the prepared glycopeptide containing GlcNAc by a transglycosylation reaction using endo-β-N-acetylglucosaminidase (endo-β-GlcNAc-ase) from Mucor hiemalis.

IT **201530-30-5DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(chemoenzymic preparation of eel calcitonin analogs having natural N-**linked** oligosaccharides)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:597708 HCAPLUS
DOCUMENT NUMBER: 130:25299
TITLE: A new base-labile linker for Boc solid phase peptide synthesis
AUTHOR(S): Eggenweiler, Hans-Michael; Clausen, Nils; Bayer, Ernst
CORPORATE SOURCE: Institute of Organic Chemistry, University of Tubingen, Tubingen, 72076, Germany
SOURCE: Peptides 1996, *Proceedings of the European Peptide Symposium*, 24th, Edinburgh, Sept. 8-13, 1996 (1998), Meeting Date 1996, 359-360. Editor(s): Ramage, Robert; Epton, Roger. Mayflower Scientific: Kingswinford, UK.
CODEN: 66RCA5
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



I

AB Solid phase fragment condensation is an important method well established in Fmoc chem. for the synthesis of large peptides. However, it is rarely used in Boc chem. Linkers which allow cleavage of protected peptides in Boc/Bzl strategy include those based on the fluorene system, hydroxyethyl-nitrobenzoic acid as well as photolabile, fluoride cleavable and palladium(0) cleavable linkers. None of these is without drawbacks, e.g. laborious synthesis, side reactions during cleavage, poor cleavage yield or product contamination by catalyst. Here the authors report a new, simple linker, based on α-hydroxymethyl acrylic acid (I; R = H, Me, iso-Pr, Ph; R1 = H; P = polymer support), which allows ready access to fully protected peptides by the Boc/Bzl strategy. This linker is readily available and permits rapid, quant. cleavage under mild basic conditions, e.g. 5-10% piperidine or morpholine, the first amino acid being attached through an allylic ester linkage. In contrast to other allylic ester linkers, the α-hydroxymethyl acrylic acid based linker system exhibits extreme lability to primary and secondary amines or nucleophiles like F. However, no cleavage or addition products can be detected in 50% DIEA or NMM in DMF or 55% TFA in DCM after 24 h at 25°. According to the cleavage mechanism, the lability towards nucleophiles can be adjusted by varying the substituents at the double bond, to meet the requirements of different applications. In accord with these considerations, the authors found enhanced base stability for I (R = CH3, R1 = Boc-Phe; t1/2 = .apprx.15 min) compared to R = H (t1/2 = .apprx.3 min). The effect was more pronounced for R = i-Pr. I (R = Ph, R1 = H) showed almost complete piperidine stability. To demonstrate the application of the new linker principle, the authors used linker I (R = R1 = H), to synthesize several protected peptide fragments, e.g.

Boc-Cys(Acm)-Thr(Bzl)-Leu-Asn-Phe-OH, in Boc/Bzl strategy on amino-methylated polystyrene (1.33 mmol/g) and TentaGel S NH2 (0.27 mmol/g). Loading of the resins was performed either by coupling the preformed linker-amino acid building block onto the resin as its Pfp-Ester or by coupling the protected amino acid onto linker-functionalized resin. The second method allows flexibility regarding the substrate coupled onto the resin. The first method facilitates exact determination of substitution. Both HOBt/DIC- and TBTU-chemistries were used, with in-situ neutralization. Syntheses utilized an ABI 433A peptide synthesizer in 0.1 mmol scale. HOBt/DIC as well as TBTU activation gave crude products of high purity (Figure 2), TBTU activation allowing shorter coupling cycles. Cleavage was carried out with 5% piperidine or morpholine in varying solvents, depending on peptide solubility Crude products obtained by cleavage with morpholine were superior to those cleaved by piperidine. For HPLC, crude cleavage mixts. were injected directly after neutralization with acetic acid. The identity and integrity of the protected peptides was confirmed by IS-MS. The authors have demonstrated that the new α-hydroxymethyl acrylic acid linker is a useful, practicable and versatile tool for synthesizing fully protected peptides for the Boc/Bzl strategy for fragment condensation. Its advantages, compared to linkers so far used for that purpose are (a) ready availability, (b) extremely mild cleavage conditions combined with (c) complete stability towards Boc-SPPS conditions. Alternatively, palladium(0) catalyzed cleavage is possible. The rapid cleavage process (t1/2 = .apprx.3 min) enables quasi simultaneous monitoring of the ongoing synthesis by HPLC. By varying the substituents at the double bond of the acrylic system, it is possible to create a whole class of linkers with finely adapted lability. As preliminary results showed, in case of ethers instead of esters, cleavage proceeds under similar conditions. This unusual ether lability is due to the described mechanism of cleavage.

IT **216220-83-6P 216220-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(new base-labile α-(hydroxymethyl)acrylic acid **linker** for Boc solid phase peptide synthesis)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:293399 HCAPLUS
DOCUMENT NUMBER: 129:4866
TITLE: Peptide conjugates useful in the treatment of prostate cancer
INVENTOR(S): Garsky, Victor M.; Feng, Dong-Mei; Defeo-Jones, Deborah
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Garsky, Victor M.; Feng, Dong-Mei; Defeo-Jones, Deborah
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818493	A2	19980507	WO 1997-US19225	19971027

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5948750	A	19990907	US 1997-950805	19971014
AU 9851497	A1	19980522	AU 1998-51497	19971027
AU 726434	B2	20001109		
EP 942754	A2	19990922	EP 1997-946296	19971027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712589	A	19991026	BR 1997-12589	19971027
CN 1242708	A	20000126	CN 1997-181168	19971027
JP 2000509407	T2	20000725	JP 1998-520593	19971027
ZA 9709655	A	19980430	ZA 1997-9655	19971028
TW 425286	B	20010311	TW 1997-86115986	19971028
NO 9902069	A	19990630	NO 1999-2069	19990429
KR 2000052970	A	20000825	KR 1999-703846	19990430
US 2002115596	A1	20020822	US 2001-961236	20010921

PRIORITY APPLN. INFO.:

US 1996-29224P	P	19961030
GB 1996-26309	A	19961218
US 1997-42921P	P	19970404
GB 1997-18160	A	19970828
WO 1997-US19225	W	19971027
US 2001-819394	A1	20010328

OTHER SOURCE(S): MARPAT 129:4866

AB Chem. conjugates which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate specific antigen (PSA), and known cytotoxic agents are disclosed. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy. Thus, [N-Ac-(4-trans-L-Hyp)]-Ala-Ser-Chg-Gln-Ser-Leu-Dox (L-Hyp = 4-hydroxy-L-proline, Chg = cyclohexylglycine, Dox = doxorubicin), prepared by the solid-phase method, was assayed for in vitro cytotoxicity (LNCaP cell kill in 72 h, EC 50 = 100 μM).

IT **207395-87-7DP**, resin-bound **207395-91-3DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(peptide **conjugates** useful in treatment of prostate cancer)

L17 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:	1998:268967 HCAPLUS
DOCUMENT NUMBER:	128:244313
TITLE:	Convergent Synthesis of N-Linked Glycopeptides on a Solid Support
AUTHOR(S):	Roberge, J. Y.; Beebe, X.; Danishefsky, S. J.
CORPORATE SOURCE:	Laboratory for Bioorganic Chemistry, Sloan-Kettering Institute for Cancer Research, New York, NY, 10021, USA
SOURCE:	Journal of the American Chemical Society (1998), 120(16), 3915-3927 CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Solid-supported synthesis can be conducted to produce a variety of glycopeptides in good overall yields. The carbohydrates are formed by the glycal assembly method. The polymer-bound construct terminates in a glycal. The terminal double bond can be functionalized to provide a C2-N-acetylglucosamine linkage with an amino group in the anomeric position. The latter can be coupled, in a convergent manner, to the γ-carboxyl group of an aspartyl residue on a preformed peptide. Iodosulfonamidation of the polymer-bound glucal to the N-acetylglucosamine using anthracenesulfonamide was crucial for the success of the solid-phase synthesis. This general method was employed in the formation of a variety of glycopeptides.

IT **167414-32-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(convergent solid-phase synthesis of asparagine-**linked**

glycopeptides)

L17 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:180735 HCAPLUS
DOCUMENT NUMBER: 128:252982
TITLE: Oligopeptide-cytotoxic agent conjugates useful in the treatment of prostate cancer and benign prostatic hypertrophy
INVENTOR(S): Feng, Dong-Mei; Garsky, Victor M.; Jones, Raymond E.; Oliff, Allen I.; Wai, Jenny M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Feng, Dong-Mei; Garsky, Victor M.; Jones, Raymond E.; Oliff, Allen I.; Wai, Jenny M.
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9810651	A1	19980319	WO 1997-US16087	19970910
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9744123	A1	19980402	AU 1997-44123	19970910
AU 715632	B2	20000203		
EP 926955	A1	19990707	EP 1997-942423	19970910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001501601	T2	20010206	JP 1998-513857	19970910
US 6391305	B1	20020521	US 1999-254892	19990628

PRIORITY APPLN. INFO.: US 1996-26015P P 19960912
GB 1996-24170 A 19961119
WO 1997-US16087 W 19970910
OTHER SOURCE(S): MARPAT 128:252982
AB Chem. conjugates are disclosed which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate specific antigen (PSA), hydrophilic oligopeptide blocking groups, and known cytotoxic agents. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy (BPH).
IT **205186-89-6DP**, resin-bound **205186-90-9DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; oligopeptide-cytotoxic agent **conjugates** for treatment of prostate cancer and benign prostatic hypertrophy)

L17 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:79057 HCAPLUS
DOCUMENT NUMBER: 128:241112
TITLE: Membrane type-1 matrix **metalloprotease** and **stromelysin**-3 cleave more efficiently synthetic substrates containing unusual amino acids in their P1' positions
AUTHOR(S): Mucha, Artur; Cuniasse, Philippe; Kannan, Rama; Beau, Fabrice; Yiotakis, Athanasios; Basset, Paul; Dive, Vincent
CORPORATE SOURCE: CEA, Departement d'Ingenierie et d'Etudes des Proteines,CE-Saclay, Gif/Yvette, 91191, Fr.
SOURCE: Journal of Biological Chemistry (1998), 273(5),

2763-2768
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The influence of the substrate P1' position on the specificity of two zinc matrix **metalloproteases**, membrane type-1 matrix **metalloprotease** (MT1-**MMP**) and **stromelysin**-3 (ST3), was evaluated by synthesizing a series of fluorogenic substrates of general formula dansyl-Pro-Leu-Ala-Xaa-Trp-Ala-Arg-NH2, where Xaa in the P1' position represents unusual amino acids containing either long arylalkyl or alkyl side chains. Our data demonstrate that both MT1-**MMP** and ST3 cleave substrates containing in their P1' position unusual amino acids with extremely long side chains more efficiently than the corresponding substrates with natural phenylalanine or leucine amino acids. In this series of substrates, the replacement of leucine by S-para-methoxybenzyl cysteine increased the kcat/Km ratio by a factor of 37 for MT1-**MMP** and 9 for ST3. The substrate with a S-para-methoxybenzyl cysteine residue in the P1' position displayed a kcat/Km value of 1.59 106 M-1 S-1 and 1.67 104 M-1 S-1, when assayed with MT1-**MMP** and ST3, resp. This substrate is thus one of the most rapidly hydrolyzed substrates so far reported for **matrixins**, and is the first synthetic peptide efficiently cleaved by ST3. These unexpected results for these two **matrixins** suggest that extracellular proteins may be cleaved by **matrixins** at sites containing amino acids with unusual long side chains, like those generated in vivo by some post-translational modifications.

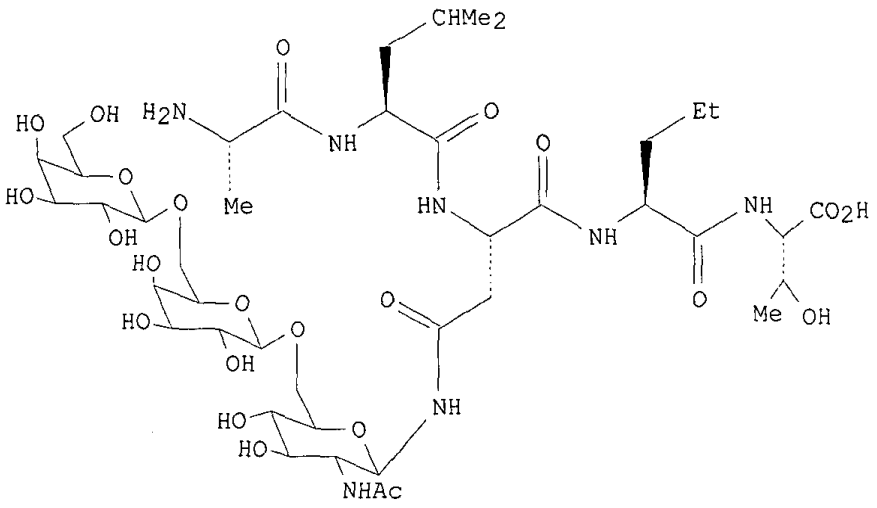
IT **145267-01-2, Stromelysin**-3 **161384-17-4,** Membrane type-1 matrix **metalloprotease**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(membrane type-1 matrix **metalloprotease** and **stromelysin**-3 cleave more efficiently synthetic substrates containing unusual amino acids in P1' positions)

IT **204981-58-8**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(membrane type-1 matrix **metalloprotease** and **stromelysin**-3 cleave more efficiently synthetic substrates containing unusual amino acids in P1' positions)

L17 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:689560 HCAPLUS
DOCUMENT NUMBER: 127:346608
TITLE: Synthesis of asparagine-linked glycopeptides on a polymeric solid support
INVENTOR(S): Danishefsky, Samuel J.; Roberge, Jacques; Beebe, Xenia
PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA
SOURCE: U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 430,355.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5679769	A	19971021	US 1995-477776	19950607
US 5543505	A	19960806	US 1994-213053	19940315
US 5708163	A	19980113	US 1995-430355	19950428
WO 9640198	A1	19961219	WO 1996-US10229	19960607
W: AU, CA, JP, MX				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
AU 9661748 A1 19961230 AU 1996-61748 19960607
PRIORITY APPLN. INFO.: US 1994-213053 A2 19940315
US 1995-430355 A2 19950428
US 1995-477776 A 19950607
WO 1996-US10229 W 19960607
OTHER SOURCE(S): MARPAT 127:346608
GI



I

AB The present invention provides a process for synthesizing a glycopeptide useful as a vaccine for inducing antibodies to human breast cancer cells (no data). Thus, solid phase synthesis of trisaccharide peptide I is reported.

IT **197503-02-9DP**, polymer support
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of asparagine-**linked** glycopeptides on a polymeric solid support)

IT **167414-32-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of asparagine-**linked** glycopeptides on a polymeric solid support)

L17 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:374825 HCAPLUS
DOCUMENT NUMBER: 126:343882
TITLE: Preparation of peptide conjugates useful in the treatment of benign prostatic hyperplasia
INVENTOR(S): Defeo-Jones, Deborah; Jones, Raymond E.; Oliff, Allen I.; Scolnick, Edward M.; Garsky, Victor M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Defeo-Jones, Deborah; Jones, Raymond E.; Oliff, Allen I.; Scolnick, Edward M.; Garsky, Victor M.
SOURCE: PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9714416	A1	19970424	WO 1996-US16490	19961015
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9674321	A1	19970507	AU 1996-74321	19961015
AU 708475	B2	19990805		
EP 855910	A1	19980805	EP 1996-936504	19961015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000506494	T2	20000530	JP 1997-515930	19961015
US 6177404	B1	20010123	US 1998-51759	19980803

PRIORITY APPLN. INFO.:	US 1995-5664P	P	19951018
	GB 1996-2903	A	19960213
	WO 1996-US16490	W	19961015

OTHER SOURCE(S): MARPAT 126:343882

AB Novel pharmaceutical compns. useful for the treatment of benign prostatic hyperplasia which comprises novel oligopeptides, which are selectively cleaved by enzymically active prostate specific antigen (PSA), in conjunction with a cytotoxic agent are described. Methods of treating benign prostate hypertrophy are also disclosed. Thus, doxorubicin (Dox) conjugate Ac-Lys-Tyr-Gln-Ser-Ser-Ser-Leu-Dox was prepared and assayed for recognition by free PSA (98% cleavage after 4 h).

IT **189513-02-8DP**, resin-bound **189513-07-3DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide **conjugates** for treatment of benign prostatic hyperplasia)

L17 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2002 ACS

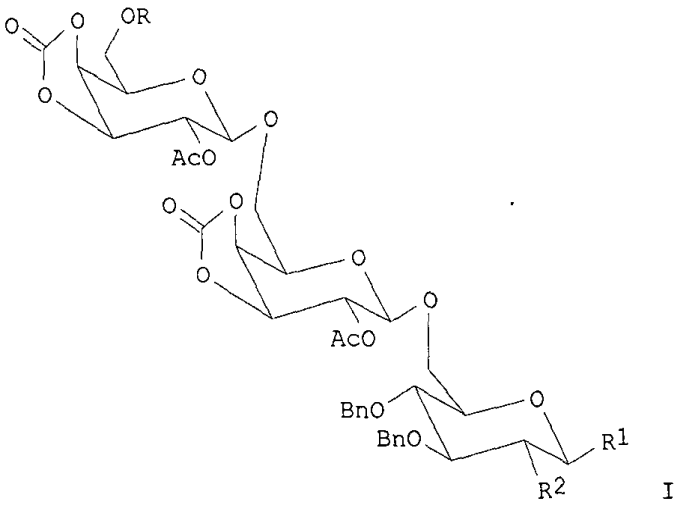
ACCESSION NUMBER:	1997:132768 HCAPLUS
DOCUMENT NUMBER:	126:144551
TITLE:	Synthesis of asparagine-linked glycopeptides on a polymeric solid support
INVENTOR(S):	Danishefsky, Samuel J.; Roberge, Jacues; Beebe, Xenia
PATENT ASSIGNEE(S):	Sloan-Kettering Institute for Cancer Research, USA
SOURCE:	PCT Int. Appl., 163 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640198	A1	19961219	WO 1996-US10229	19960607
W: AU, CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5679769	A	19971021	US 1995-477776	19950607
AU 9661748	A1	19961230	AU 1996-61748	19960607

PRIORITY APPLN. INFO.:	US 1995-477776	A	19950607
	US 1994-213053	A2	19940315
	US 1995-430355	A2	19950428
	WO 1996-US10229	W	19960607

GI



I

AB Asparagine-linked glycopeptides were prepared by halosulfonamidating a glycal, followed by azidation, acylation, reduction, peptide coupling, and deprotection steps. The glycopeptides are useful as vaccines for inducing antibodies to human breast cancer cells in adjuvant therapy. Thus, glycal I (R = polymer support, R1R2 = bond) and 9-anthracenesulfonamide suspended in THF were treated with iodonium bis(sym-collidine) perchlorate to give I (R = polymer support, R1 = 9-anthracenesulfonamide, R2 = iodo), which was treated sequentially with tetrabutylammonium azide in THF, Ac2O/4-(dimethylamino)pyridine in THF, and 1,3-propanedithiol/diisopropylethylamine in DMF to afford I (polymer support, R = NH2, R1 = NHAc). The latter underwent peptide coupling at the NH2 group to afford asparagine-linked glycopeptides.

IT **167414-32-6DP**, polymer-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of asparagine-**linked** glycopeptides on polymeric solid support)

IT **167414-32-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of asparagine-**linked** glycopeptides on polymeric solid support)

L17 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:730376 HCAPLUS
DOCUMENT NUMBER: 123:340896
TITLE: Use of glycolamidic ester link (G.E.L.) for the preparation of protected peptides
AUTHOR(S): Ceccato, Marie-Line; Chavanieu, Alain; Chenu, Jacques; Mendre, Christiane; Calas, Bernard
CORPORATE SOURCE: Sanofi-Recherche, Toulouse, F-31036, Fr.
SOURCE: Protein and Peptide Letters (1995), 2(1), 287-90
CODEN: PPELEN; ISSN: 0929-8665
PUBLISHER: Bentham Science Publishers BV
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The glycolamidic ester link was used to synthesize protected peptides having a -CO2H or -CONHNH2 group in the C-terminal position, depending on the method (NaOH or hydrazine in DMF) used to cleave the peptide from the resin.

IT **170645-96-2P 170645-97-3P 170645-99-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(glycolamidic ester **link** for preparation of protected peptides)

L17 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:729167 HCAPLUS
DOCUMENT NUMBER: 123:103526
TITLE: Amino acid substituted analogs of atrial natriuretic peptides that retains their activity and with specificity for the A receptor
INVENTOR(S): Lowe, David; Cunningham, Brian C.; Oare, David; McDowell, Robert S.; Burnier, John
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9513296	A1	19950518	WO 1994-US12591	19941104
W: AU, CA, CN, CZ, JP, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2174517	AA	19950518	CA 1994-2174517	19941104
AU 9519349	A1	19950529	AU 1995-19349	19941104
EP 728147	A1	19960828	EP 1995-901112	19941104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09505049	T2	19970520	JP 1994-513878	19941104
US 5665704	A	19970909	US 1995-451240	19950525
US 5846932	A	19981208	US 1995-470846	19950606

PRIORITY APPLN. INFO.: US 1993-152994 19931112
WO 1994-US12591 19941104
US 1995-362552 19950106
US 1995-419877 19950411

AB Amino acid substituted human receptor selective atrial natriuretic factor variants, especially G16R, show equal potency and binding affinity for the human A-receptor but have decreased affinity for the human clearance or C-receptor. These ANF variants have natriuretic, diuretic and vasorelaxant activity but have increased metabolic stability, making them suitable for treating congestive heart failure, acute kidney failure and renal hypertension.

IT **166098-79-9DP, conjugates** with PAM resin
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(atriopeptin analog, amino acid sequence; amino acid substituted analogs of atrial natriuretic peptides that retains their activity and with specificity for receptor)

L17 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:692091 HCAPLUS
DOCUMENT NUMBER: 123:170158
TITLE: A strategy for a convergent synthesis of N-linked glycopeptides on a solid support
AUTHOR(S): Roberge, Jacques Y.; Beebe, Xenia; Danishefsky, Samuel J.
CORPORATE SOURCE: Lab. Bioorg. Chem., Sloan-Kettering Inst. Cancer Res., New York, NY, 10021, USA
SOURCE: Science (Washington, D. C.) (1995), 269(5221), 202-4
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Oligosaccharides and glycopeptides are of considerable importance in mol. biol. and pharmacol. However, their synthesis is complicated by the large number of different linking sites between each saccharide unit, the need for stereochem. control, the chem. sensitivity of the glycopeptide bonds, and the need to harmonize diverse protecting groups. Here, an efficient solid-phase synthesis of three N-linked glycopeptides based on glycal assembly is presented. The peptide domain can be extended while the ensemble remains bound to the polymer. The glycopeptides synthesized here are among the largest N-linked glycopeptides ever accessed by either solution- or solid-phase synthesis.

IT **167414-32-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(a strategy for a convergent synthesis of N-**linked** glycopeptides on a solid support)

L17 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:371262 HCAPLUS
DOCUMENT NUMBER: 122:214517
TITLE: Synthesis and applications of a new base-labile fluorene derived linker for solid-phase peptide synthesis
AUTHOR(S): Rabanal, Francesc; Giralt, Ernest; Albericio, Fernando
CORPORATE SOURCE: Dep. Organic Chem., Univ. Barcelona, Barcelona, E-08028, Spain
SOURCE: Tetrahedron (1995), 51(5), 1449-58
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:214517
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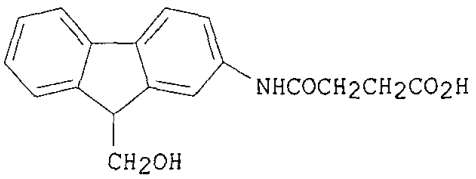


I

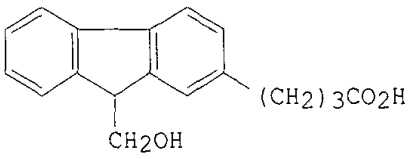
AB The handle N-[(9-hydroxymethyl)-2-fluorenyl]succinamic acid (HMFS) (I) is reported for the preparation of protected peptide segments in combination with a tert-butoxycarbonyl (Boc)/benzyl protection scheme. Treatment of peptide resins with morpholine in DMF renders protected peptides in high yields and purities.

IT **141340-59-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and applications of a new base-labile fluorene derived **linker** for solid-phase peptide synthesis)

L17 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:225902 HCAPLUS
DOCUMENT NUMBER: 122:133796
TITLE: Synthesis of new fragments of VP1 protein of the A22 foot-and-mouth disease virus: fragments 134-139, 134-145, 140-145, 150-155, 150-159
AUTHOR(S): Khalikov, Sh. Kh.; Alieva, S. V.; Ashurov, S. G.
CORPORATE SOURCE: Tadzhik State Univ., Dushanbe, Tajikistan
SOURCE: Bioorganicheskaya Khimiya (1994), 20(4), 393-405
CODEN: BIKHD7; ISSN: 0132-3423
PUBLISHER: MAIK Nauka

DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Fragments 134-145 (H-Gly-Lys-Tyr-Ser-Ala-Gly-Gly-Leu-Gly-Arg-Arg-Gly-OH) and 150-159 (H-Leu-Ala-Ala-Arg-Val-Ala-Lys-Gln-Leu-Pro-OH) of the antigenic region of the VP1 protein of the A22 foot-and-mouth disease virus were synthesized by classical methods of peptide chem. with iso-Bu chloroformate as coupling reagent. After purification by HPLC and amino acid anal., the free peptides were conjugated with BSA by N,N-dicyclohexylcarbodiimide. The conjugates were used, with complete Freund adjuvant, for immunization of guinea pigs. The antibodies formed had virus neutralization activity.
IT **118884-08-5P 118884-10-9P 161007-35-8P**
161007-38-1P 161007-47-2P 161007-48-3P
161007-51-8P 161007-52-9P 161007-59-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of fragments of protein of foot-and-mouth disease virus and of their **conjugates** for immunization)

L17 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:483955 HCAPLUS
DOCUMENT NUMBER: 121:83955
TITLE: A novel Fmoc-based anchorage for the synthesis of protected peptide on solid phase
AUTHOR(S): Lin, Wei; Chen, Lan; Liu, Yin-zeng; Niu, Ching-I
CORPORATE SOURCE: Shanghai Inst. Biochem., Chin. Acad. Sci., Shanghai, Peop. Rep. China
SOURCE: Pept.: Biol. Chem., Proc. Chin. Pept. Symp. (1993), Meeting Date 1992, 299-300. Editor(s): Du, Yu-cang; Tam, James P.; Zhang, You-shang. ESCOM: Leiden, Neth. CODEN: 59YOAI
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



I

AB A report from a symposium on the preparation of 9-fluorenylmethoxycarbonyl (Fmoc)-based 9-(hydroxymethyl)-2-fluorenebutyric acid (I) as a linker for solid-phase peptide synthesis. I was used in the solid-phase preparation of protected rat TGF-α fragment Boc-Val-Val-Ser(CH2Ph)-His(Tos)-Phe-Asn-Lys(CO2CH2C6H4Cl-2)-OH (Boc = Me3CO2C, Tos = tosyl) using Boc chem.
IT **156251-67-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via solid-phase methods, (hydroxymethyl)fluorenebutyric acid **linker** in)

L17 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1994:55012 HCAPLUS
DOCUMENT NUMBER: 120:55012
TITLE: Preparation of peptide with cell adhesion activity and polymeric modification thereof
INVENTOR(S): Azuma, Ichiro; Saiki, Ikuo; Kusunose, Naoto; Ikeda, Yoshiharu; Ono, Keiichi
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9312140	A1	19930624	WO 1992-JP1594	19921207
W: CA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 05170796	A2	19930709	JP 1991-355319	19911219
JP 3235855	B2	20011204		

PRIORITY APPLN. INFO.: JP 1991-355319 A 19911219
OTHER SOURCE(S): MARPAT 120:55012
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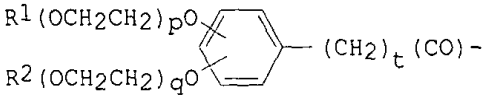
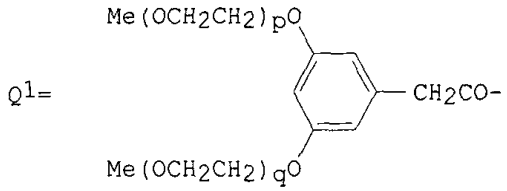
Q= 

Q1= 

AB R-(Arg-Gly-Asp-Thr)n-OH [I; n = 5-20; R = H, polyethylene glycol Q or R3(OCH2CH2)kO(CO)(CH2)u(CO); wherein R1, R2, R3 = lower alkyl; k, p, q = any pos. integer to make the average-mol.-weight of the polyethylene glycol portion .apprx.1,000 to .apprx.12,000; t, u = 0, any pos. integer], useful as cancer metastasis, blood platelet aggregation, and bone absorption inhibitors, are prepared Thus, condensation of Boc-Arg(Tos)-Gly-[Asp(OcHex)-Thr(Bzl)-Arg(Tos)-Gly]4-OH (Tos = p-MeC6H4SO2, cHex = cyclohexyl, Bzl = CH2Ph) (preparation given) with H-[Asp(OcHex)-Thr(Bzl)-Arg(Tos)-Gly]6-Asp(OcHex)-Thr(Bzl)-OBzl (preparation given) in the presence of 1-ethyl-2-(3-diethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in DMF and N-methylpyrrolidinone at 5-10° followed by deprotection with HF in anisole and MeSSEt and purification using reversed phase HPLC gave I (n = 11, R = H) (II). N-acylation of II with hydrocinnamic acid derivative Q1-OSu (Su = N-succinimidyl) (average-mol.-weight .apprx.10,000) in 0.1M borate buffer at room temperature gave, after purification using reversed phase HPLC, a II-polyethylene glycol conjugate I (n = 11, R = Q1) (III). II at 500 μg and III at 40-1,000 μg inhibited the metastasis of B16-BL6 melanoma cells to lungs in mice. Also prepared were I (n = 1,3,5,7,9) and 5 polyethylene glycol conjugates .

IT **152016-42-7 152016-43-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptide coupling of, in preparation of peptides and their **conjugates** with polyethylene glycols with cell adhesion activity)

L17 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:250338 HCAPLUS
DOCUMENT NUMBER: 118:250338

TITLE: Synthesis and structure-activity relationships of elafin, an elastase-specific inhibitor
AUTHOR(S): Tsunemi, Masahiko; Kato, Hisao; Nishiuchi, Yuji; Kumagaye, Shinichiro; Sakakibara, Shumpei
CORPORATE SOURCE: Prot. Res. Found., Peptide Inst. Inc., Minoh, 562, Japan
SOURCE: Biochemical and Biophysical Research Communications (1992), 185(3), 967-73
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Elafin, an elastase-specific inhibitor isolated from human skin, and its related peptides were synthesized by the solution procedure, and their inhibitory activities were measured against various enzymes. During the oxidative folding reactions of the reduced peptides, the ratio of the active product to the inactive product was varied by changing the concentration of guanidine-HCl and the amount of redox reagents. The disulfide structures of fully active synthetic elafin and the inactive product were determined by amino acid anal., gas-phase sequencing, and mass spectrometry of their proteolytic fragments. The relation between structure and inhibitory activities and/or the folding reaction was examined and the N-terminal part of the elafin mol. was found to have a great influence on the folding reactions, but not on the inhibitory activities.

IT **142063-35-2 142063-39-6 142063-40-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with other peptides)

IT **9004-06-2**, Elastase
RL: PROC (Process)
(inhibition of, of human leukocytes and pig pancreas, by natural and synthetic elafin and analogs, kinetics of)

IT **144909-44-4P 144922-26-9P 144922-28-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with other peptides)

L17 ANSWER 31 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:449249 HCAPLUS
DOCUMENT NUMBER: 117:49249
TITLE: Synthesis of elafin, an elastase-specific inhibitor: relationship between inhibitory activity and disulfide structure
AUTHOR(S): Tsunemi, Masahiko; Kato, Hisao; Nishiuchi, Yuji; Kumagaye, Shinichiro; Sakakibara, Shumpei
CORPORATE SOURCE: Prot. Res. Found., Peptide Inst. Inc., Minoh, 562, Japan
SOURCE: Peptide Chemistry (1992), Volume Date 1991, 29th, 43-8
CODEN: PECHDP; ISSN: 0388-3698
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A symposium report on the total synthesis of elafin, a 57-residue peptide having 8 cysteine residues, by fragment condensations in solution The starting fragments for the above synthesis were Z-Ala-Gln-Glu(OcHex)-Pro-Val-Lys(ZCl)-Gly-OPac (Z = PhCH2O2C, cHex = cyclohexyl, Pac = phenacyl) (sequence 1-7), Boc-Pro-Val-Ser(Bzl)-Thr(Bzl)-Lys(ZCl)-Pro-Gly-OPac (Boc = Me3CO2C, Bzl = benzyl) (sequence 8-14), Boc-Ser(Bzl)-Cys(Acm)-Pro-Ile-Ile-Leu-OPac (Acm = acetamidomethyl) (sequence (15-20), Boc-Ile-Arg(Tos)-Cys(Acm)-Ala-Met-Leu-OPac (Tos = tosyl) (sequence 21-26), Boc-Asn-Pro-Pro-Asn-Arg(Tos)-Cys(Acm)-Leu-OPac (sequence 27-33), Boc-Lys(ZCl)-Asp(OcHex)-Thr(Bzl)-Asp(OcHex)-Cys(Acm)-Pro-Gly-OPac (sequence 34-40), Boc-Ile-Lys(ZCl)-Lys(ZCl)-Cys(Acm)-Cys(Acm)-Glu(OcHex)-Gly-Ser(Bzl)-Cys(Acm)-Gly-OPac (sequence 41-50) and Boc-Met-Ala-Cys(Acm)-Phe-Val-Pro-Gln-OBzl (sequence 51-57). The relationship between elastase-inhibiting activity and disulfide structure of elafin is

discussed.

IT **142063-35-2 142063-39-6 142063-40-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(as starting material for total synthesis of elafin via fragment condensations in solution)

IT **9004-06-2**, Elastase
RL: PROC (Process)
(inhibition of, by elafin)

L17 ANSWER 32 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:152400 HCAPLUS
DOCUMENT NUMBER: 116:152400
TITLE: Preparation of functionalized polystyrene-grafted supports for bioassays and peptide synthesis
INVENTOR(S): Berg, Rolf H.; Almdal, Kristoffer; Pedersen, Walther Batsberg; Holm, Arne
PATENT ASSIGNEE(S): Forskningscenter Risoe, Den.
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113098	A1	19910905	WO 1991-DK62	19910304
W: AU, BR, CA, FI, HU, JP, KR, NO, PL, RO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9174544	A1	19910918	AU 1991-74544	19910304
PRIORITY APPLN. INFO.:			DK 1990-559	19900302
			WO 1991-DK62	19910304

AB Polymers grafted with functionalized polystyrene chains of mol. weight >200,000 capable of covalent linking with amino acids, peptides, or proteins were prepared Thus, low-d. polyethylene sheet and purified styrene in an ampoule were irradiated with γ-rays from a cobalt source at .apprx.400 Gy/h for 0.95-5.6 h to give 55-547% grafted material. Rectangular strips (1.5 + 4.5 cm) of 443% polystyrene-grafted polyethylene were aminomethylated by treatment with N-(hydroxymethyl)phthalimide in CH2Cl2/F3CCO2H/F3CSO3H followed by hydrazinolysis to give material having 1.00 mmol NH2/g. The aminomethylated material was used for preparation of, e.g., melittin-(7-21) and analogs using Me3CO2C-protected amino acids and double DCC coupling, and in preparation of "immunosticks" for Elisa detection of angiotensin II.

IT **139644-88-5DP**, aminomethylated polystyrene-grafted polyethylene bound **139663-60-8DP**, aminomethylated polystyrene-grafted polyethylene bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and resin cleavage reaction of)

IT **9002-88-4D**, Polyethylene, functionalized, polystyrene-grafted
RL: RCT (Reactant); RACT (Reactant or reagent)
(support, for bioassays and peptide synthesis)

L17 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:146058 HCAPLUS
DOCUMENT NUMBER: 108:146058
TITLE: Anti-chymotrypsin and anti-elastase activities of a synthetic bicyclic fragment containing a chymotrypsin-reactive site of soybean Bowman-Birk inhibitor
AUTHOR(S): Ando, Shoji; Yasutake, Akira; Waki, Michinori; Nishino, Norikazu; Kato, Tetsuo; Izumiya, Nobuo

CORPORATE SOURCE: Fac. Sci., Kyushu Univ., Fukuoka, 812, Japan
SOURCE: Biochimica et Biophysica Acta (1987), 916(3), 527-31
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A bicyclic hexadecapeptide, which corresponds to the sequence 36-51 and contains the chymotrypsin-reactive Leu-43-Ser-44 bond of soybean Bowman-Birk inhibitor, was synthesized. This peptide consists of 2 loops formed by SS bridges between cysteine (Cys)-36 and Cys-51 and between Cys-41 and Cys-49. The bicyclic peptide showed a strong anti-chymotryptic activity with a Ki = 7.1 + 10-7M. Comparison of inhibitory activity and digestive stability against chymotrypsin with other hexadecapeptides having the same sequence but lacking 1 or both SS bridges suggested that the compact bicyclic structure increases the activity and protects the Leu-Ser bond from chymotryptic digestion. Interestingly, the bicyclic peptide was found to inhibit porcine pancreatic elastase with a Ki = 4.3 + 10-5M, indicating the broad specificity of this ring system.

IT **9004-06-2**, Elastase
RL: PROC (Process)
(inhibition of, by Bowman-Birk inhibitor analog, kinetics of)

IT **113739-34-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection and cyclization of)

IT **113739-32-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with peptide derivative)

IT **113739-30-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and reaction with peptide derivative)

IT **113739-28-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with peptide derivative)

L17 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1987:513867 HCAPLUS
DOCUMENT NUMBER: 107:113867
TITLE: Synthesis of conjugates between luteinizing hormone releasing hormone (LH-RH) and N-acetyl-muramyl-L-alanyl-D-isoglutamine (MDP) models of totally synthetic vaccines
AUTHOR(S): Bernard, J. M.; Gras-Masse, H.; Drobecq, H.; Tartar, A.; Lefrancier, P.; Hosmalin, A.; Carelli, C.; Chedid, L.
CORPORATE SOURCE: Choay Inst., Montrouge, F-92120, Fr.
SOURCE: International Journal of Peptide & Protein Research (1987), 29(4), 455-63
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:113867

AB Two glycopeptides associating the amino acid sequence of LH-RH with MDP were prepared, using a Lys residue as a linker. These conjugates, Nα-MDP-Nε-(LH-RH)-Lys and Nα-MDP-Nε-(LH-RH)-Lys-NH2, obtained by condensation of fragments were synthesized by liquid- as well as solid-phase methods. Both compds. were able to induce anti LH-RH antibodies and immunol.-induced castration. They retained the immune adjuvant activity of MDP. Such antigen-adjuvant constructs, devoid of carrier and obtained by chem. defined and reproducible synthetic methods, could offer suitable tools for structure-activity relationship studies aiming at defining synthetic vaccines.

IT **99087-75-9P**

RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with muramyl dipeptide-peptide **conjugate**)

=> sel hit rn
E1 THROUGH E81 ASSIGNED

=> file reg
FILE 'REGISTRY' ENTERED AT 10:34:24 ON 12 DEC 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8
DICTIONARY FILE UPDATES: 11 DEC 2002 HIGHEST RN 475975-25-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> => d his l18

(FILE 'HCAPLUS' ENTERED AT 10:33:44 ON 12 DEC 2002)
SEL HIT RN

FILE 'REGISTRY' ENTERED AT 10:34:24 ON 12 DEC 2002
L18 74 S E1-E81 AND L3

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3 RN **360781-20-0** REGISTRY
4 RN **360781-19-7** REGISTRY
5 RN **360781-12-0** REGISTRY
6 RN **360781-10-8** REGISTRY
7 RN **360780-56-9** REGISTRY
8 RN **304011-42-5** REGISTRY
9 RN **304011-41-4** REGISTRY
10 RN **271794-98-0** REGISTRY
11 RN **271794-97-9** REGISTRY
12 RN **256398-75-1** REGISTRY
13 RN **256391-34-1** REGISTRY
14 RN **249589-51-3** REGISTRY
15 RN **249589-49-9** REGISTRY
16 RN **249589-42-2** REGISTRY
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22 RN **246849-55-8** REGISTRY

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71	RN	113739-32-5	REGISTRY
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73	RN	113739-28-9	REGISTRY
74	RN	99087-75-9	REGISTRY

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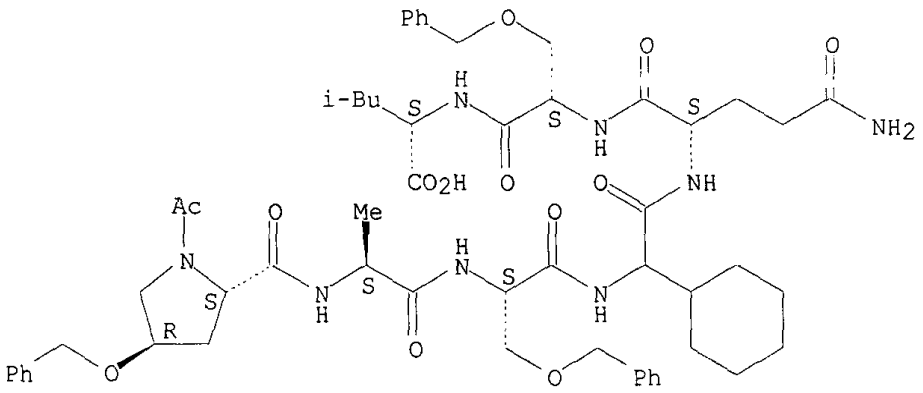
L18 ANSWER 1 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **408502-26-1** REGISTRY
CN L-Leucine, (4R)-1-acetyl-4-(phenylmethoxy)-L-prolyl-L-alanyl-O-(phenylmethyl)-L-seryl-2-cyclohexylglycyl-L-glutaminyl-O-(phenylmethyl)-L-seryl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C56 H76 N8 O13

SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)
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3 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:310188

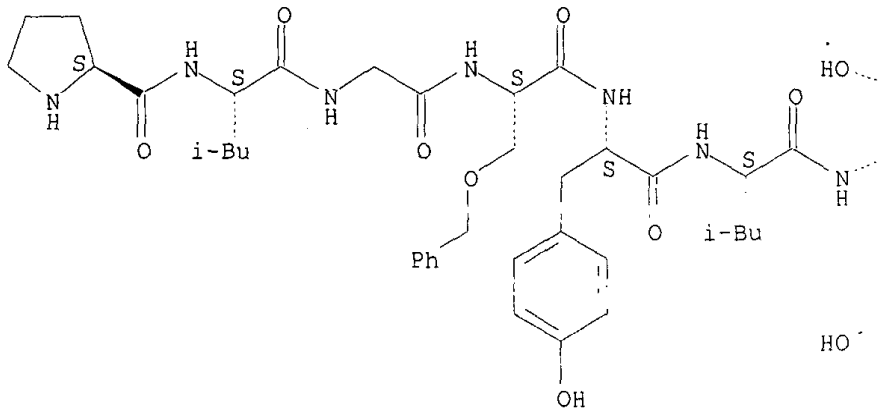
REFERENCE 2: 136:310187

L18 ANSWER 5 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **360781-12-0** REGISTRY
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[L-prolyl-L-leucylglycyl-O-(phenylmethyl)-L-seryl-L-tyrosyl-L-leucyl]amino]-α-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C65 H81 N7 O19
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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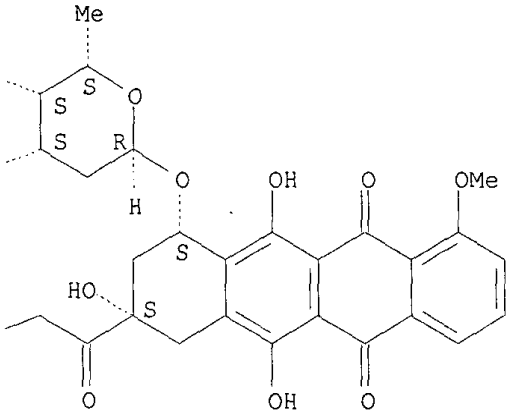


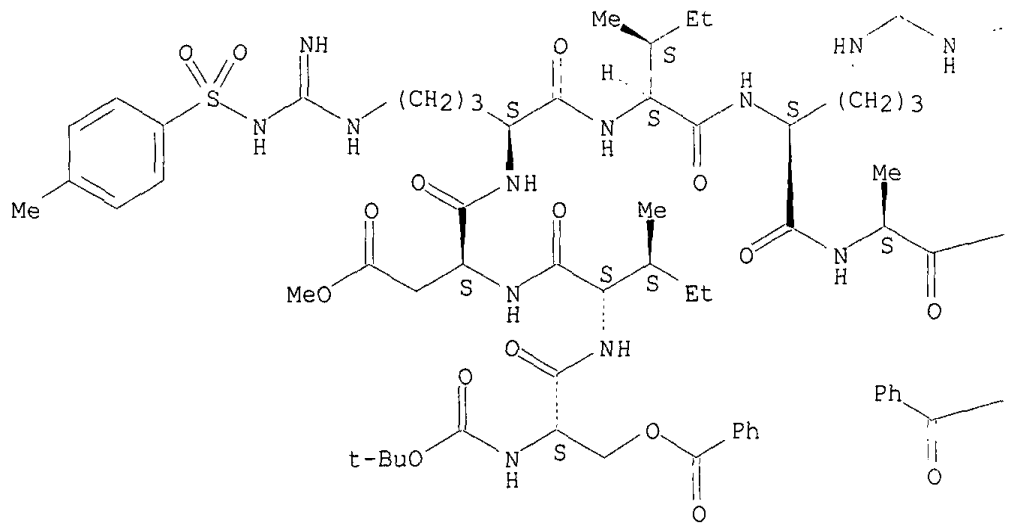
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L18 ANSWER 10 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **271794-98-0** REGISTRY
CN Glycine, O-benzoyl-N-[(1,1-dimethylethoxy)carbonyl]-L-seryl-L-isoleucyl-L-α-aspartyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-isoleucyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-alanyl-L-glutaminyl-O-benzoyl-L-serylglycyl-L-leucyl-, 3-methyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C86 H123 N19 O26 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



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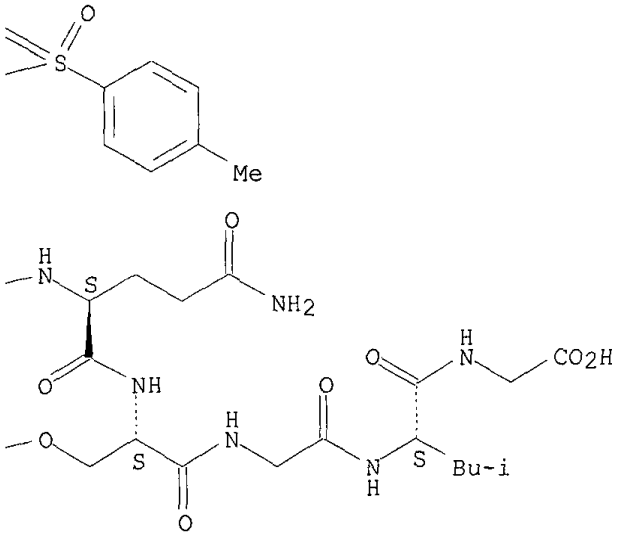


1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

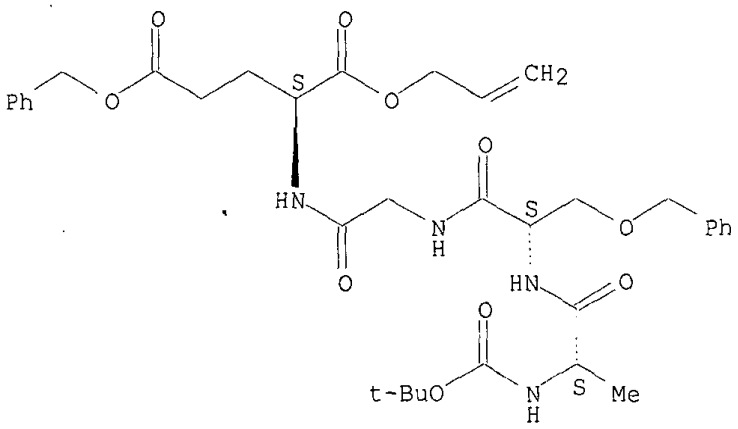
REFERENCE 1: 133:17802

L18 ANSWER 15 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **249589-49-9** REGISTRY
CN L-Glutamic acid, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-O-(phenylmethyl)-L-serylglycyl-, 45-(phenylmethyl) 41-(2-propenyl) ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C35 H46 N4 O10

SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 131:322896

L18 ANSWER 20 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **246849-57-0** REGISTRY
CN L-Aspartic acid, S-[(acetylamino)methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-cysteinyl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-L-phenylalanyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-O-(phenylmethyl)-L-seryl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C83 H101 N11 O18 S4
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry. Rotation (-).

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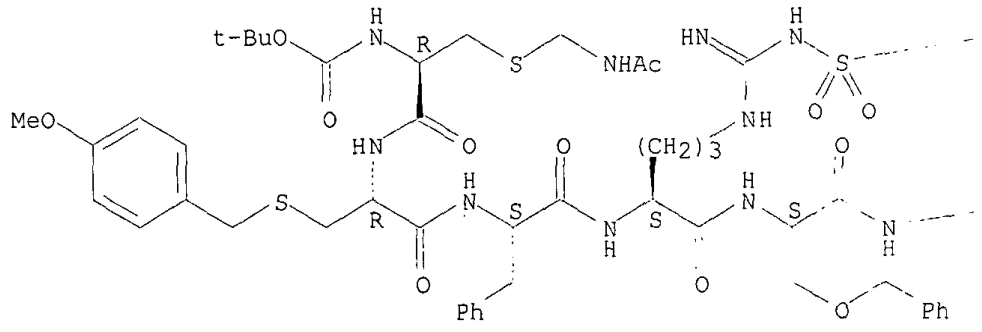

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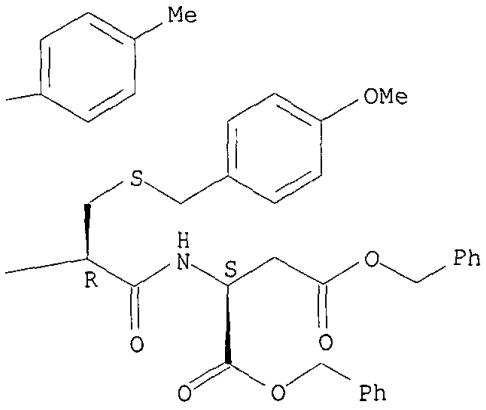


1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

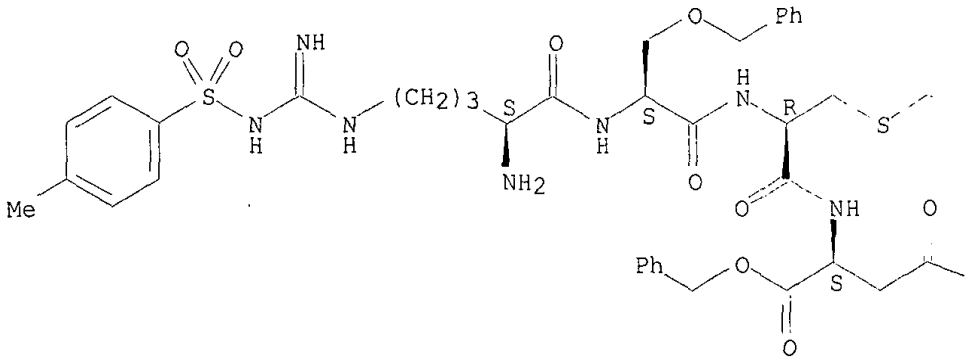
REFERENCE 1: 131:286798

L18 ANSWER 25 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **246849-52-5** REGISTRY
CN L-Aspartic acid, N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-O-(phenylmethyl)-L-seryl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C52 H61 N7 O11 S2
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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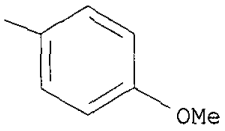
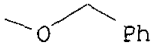




1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

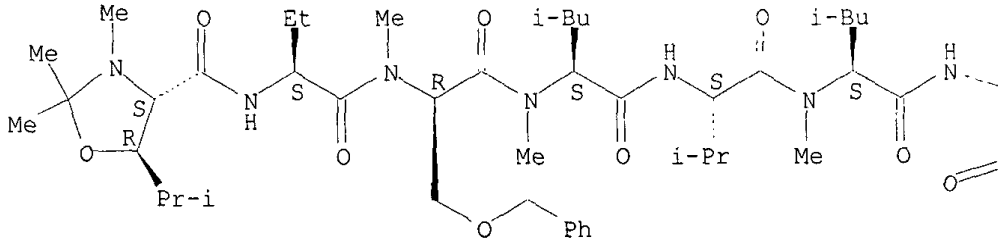
REFERENCE 1: 131:286798

L18 ANSWER 30 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **220871-28-3** REGISTRY
CN L-Lysine, (4S,5R)-2,2,3-trimethyl-5-(1-methylethyl)-4-oxazolidinecarbonyl-(2S)-2-aminobutanoyl-N-methyl-O-(phenylmethyl)-D-seryl-N-methyl-L-leucyl-L-valyl-N-methyl-L-leucyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C65 H97 Cl N8 O12
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry. Rotation (-).

PAGE 1-A



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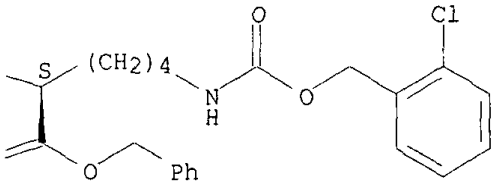

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REFERENCE 1: 130:209984

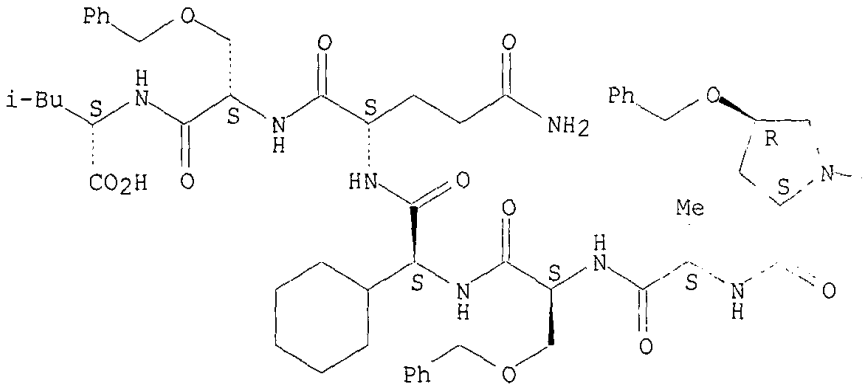
REFERENCE 2: 130:209983

L18 ANSWER 35 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **207395-91-3** REGISTRY
CN L-Leucine, (4R)-1-[(9H-fluoren-9-ylmethoxy)carbonyl]-4-(phenylmethoxy)-L-prolyl-L-alanyl-O-(phenylmethyl)-L-seryl-(2S)-2-cyclohexylglycyl-L-glutaminyl-O-(phenylmethyl)-L-seryl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C69 H84 N8 O14
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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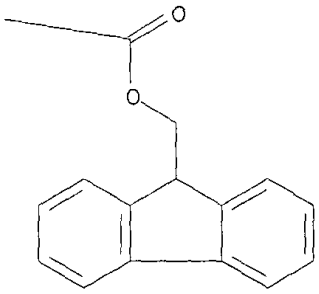

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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

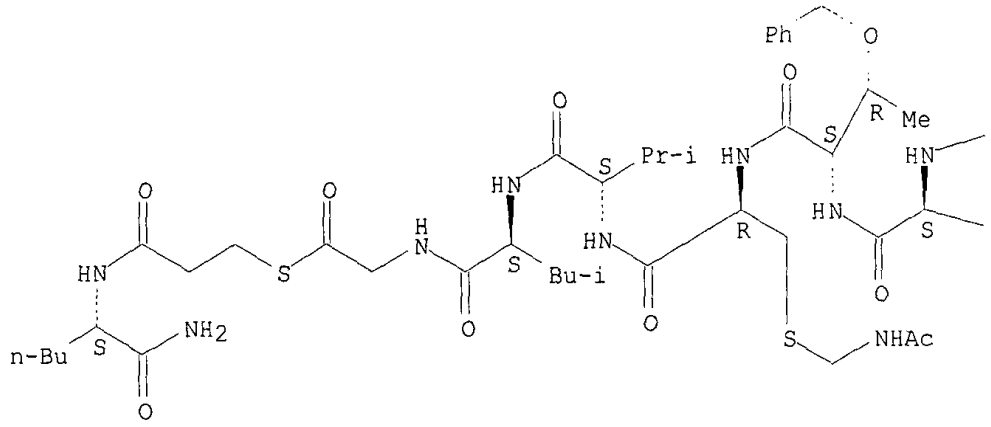
REFERENCE 1: 129:4866

L18 ANSWER 40 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **201530-30-5** REGISTRY
CN Glycine, L-leucyl-O-(phenylmethyl)-L-seryl-O-(phenylmethyl)-L-threonyl-S-[(acetylamino)methyl]-L-cysteinyl-L-valyl-L-leucylthio-, S-[3-[[(1S)-1-(aminocarbonyl)pentyl]amino]-3-oxopropyl] ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C55 H86 N10 O12 S2
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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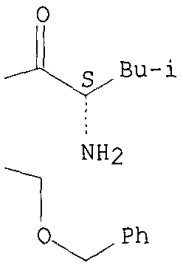

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REFERENCE 1: 130:153953

REFERENCE 2: 128:115219

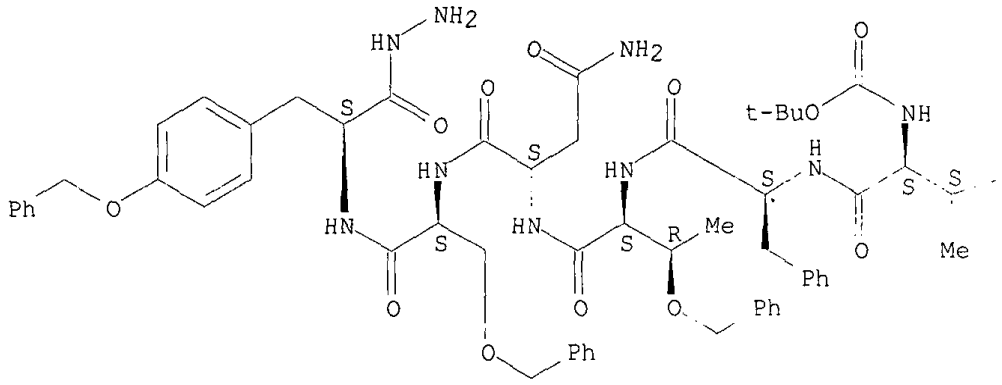
L18 ANSWER 45 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **170645-97-3** REGISTRY
CN L-Tyrosine, N-[N-[N2-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-isoleucyl]-

L-phenylalanyl]-O-(phenylmethyl)-L-threonyl]-L-asparaginyl]-O-(phenylmethyl)-L-seryl]-O-(phenylmethyl)-, hydrazide (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C61 H77 N9 O12
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

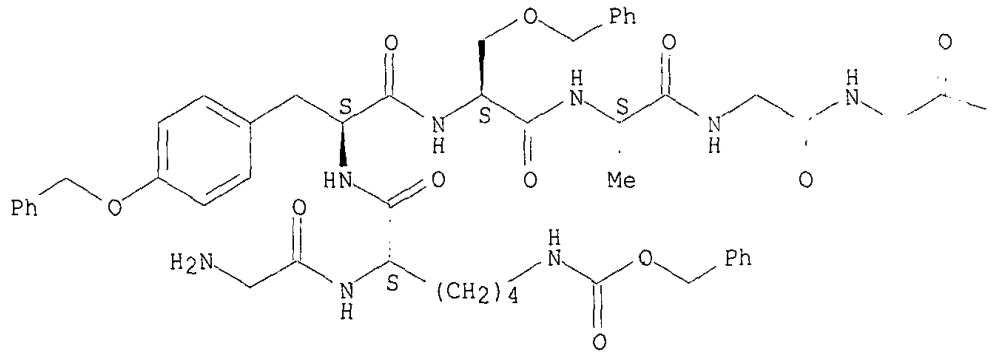
REFERENCE 1: 123:340896

L18 ANSWER 50 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **161007-52-9** REGISTRY
CN Glycine, N-[N2-[N2-[N-[N-[N-[N-[N-[N-[N2-glycyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-O-(phenylmethyl)-L-tyrosyl]-O-(phenylmethyl)-L-seryl]-L-alanyl]glycyl]glycyl]-L-leucyl]glycyl]-N5-[imino(nitroamino)methyl]-L-ornithyl]-N5-[imino(nitroamino)methyl]-L-ornithyl]-, monohydrochloride (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C71 H99 N21 O21 . Cl H
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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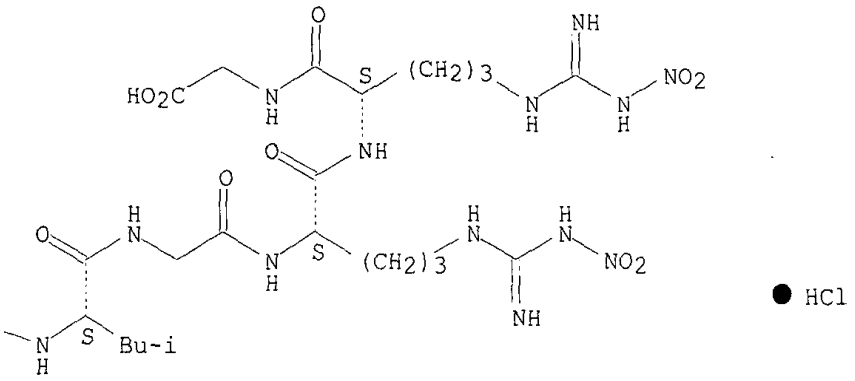


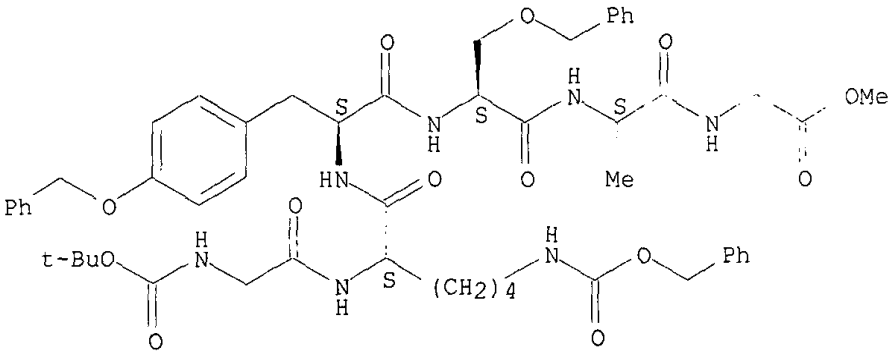
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:133796

L18 ANSWER 55 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **161007-35-8** REGISTRY
CN Glycine, N-[N-[N-[N-[N2-[N-[(1,1-dimethylethoxy)carbonyl]glycyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-O-(phenylmethyl)-L-tyrosyl]-O-(phenylmethyl)-L-seryl]-L-alanyl]-, methyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C53 H67 N7 O13
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:133796

L18 ANSWER 60 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **144922-26-9** REGISTRY
CN Glycine, N-[(phenylmethoxy)carbonyl]-L-alanyl-L-glutaminyl-L-α-glutamyl-L-prolyl-L-valyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysylglycyl-L-prolyl-L-valyl-O-(phenylmethyl)-L-seryl-O-(phenylmethyl)-L-threonyl-N6-[[(2-chlorophenyl)methoxy]carbonyl]-L-lysyl-L-prolyl-, 3-cyclohexyl 14-(2-oxo-2-phenylethyl) ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C113 H147 Cl2 N17 O27
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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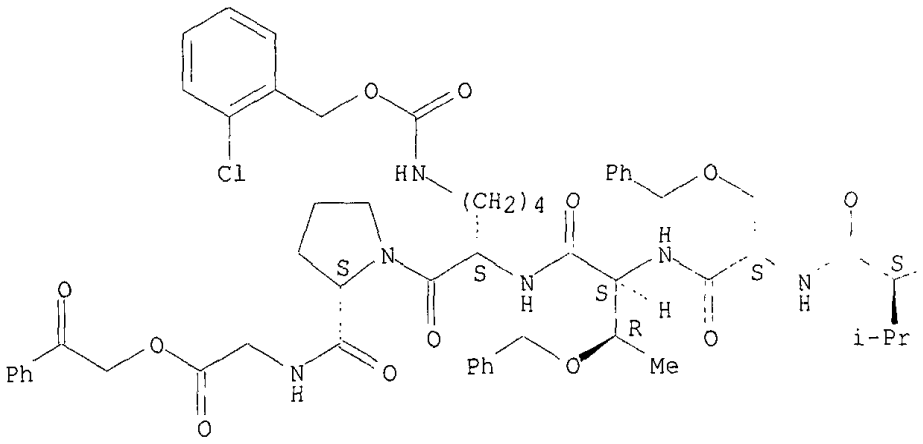

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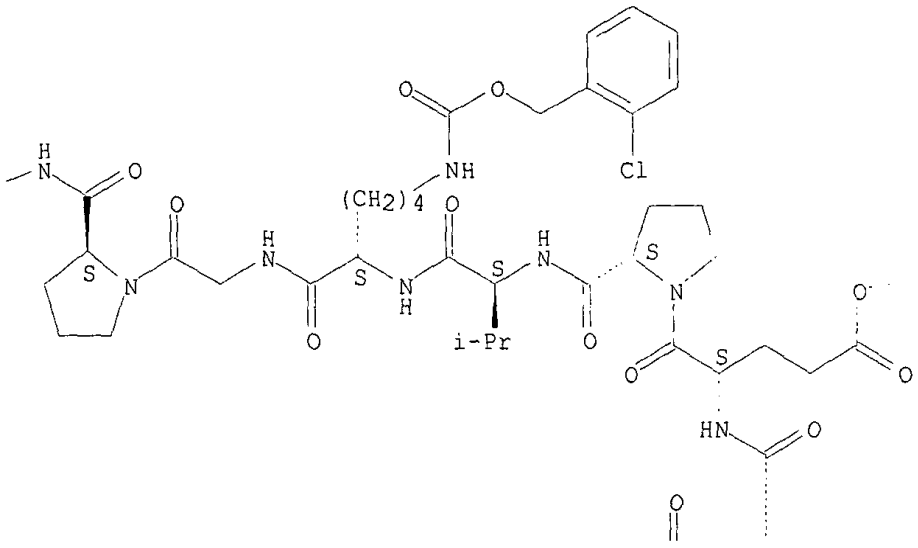

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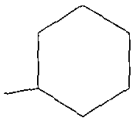

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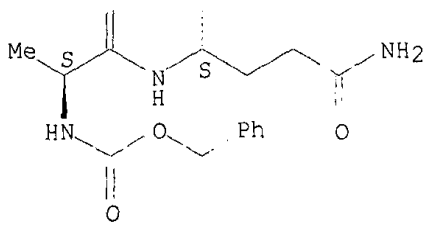


1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

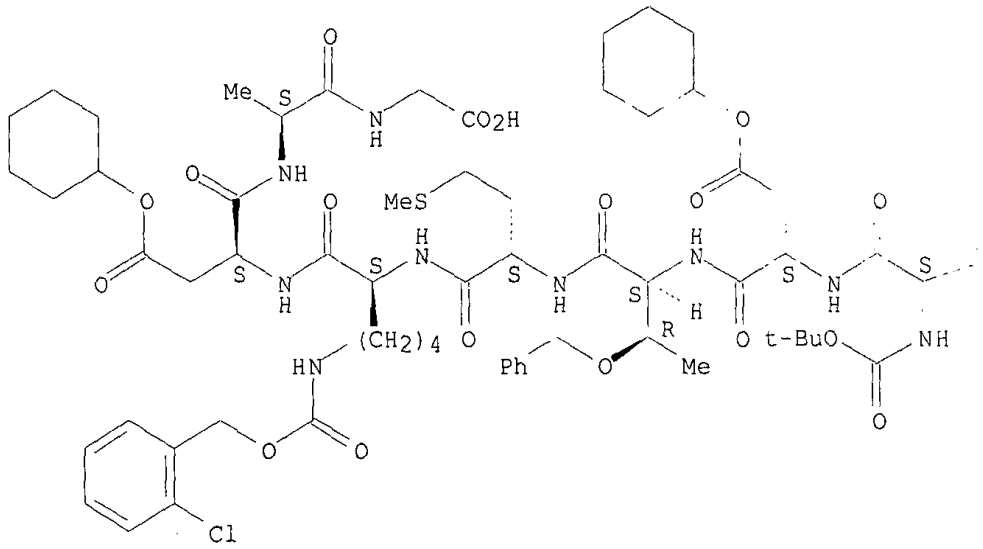
REFERENCE 1: 118:250338

L18 ANSWER 65 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **141340-59-2** REGISTRY
CN Glycine, N-[N-[N-[N6-[[(2-chlorophenyl)methoxy]carbonyl]-N2-[N-[N-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-α-aspartyl]-L-α-aspartyl]-O-(phenylmethyl)-L-threonyl]-L-methionyl]-L-lysyl]-L-α-aspartyl]-L-alanyl]-, 4,4',4''-tricyclohexyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C70 H102 Cl N9 O20 S
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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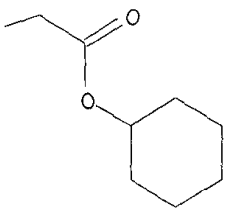


2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:214517

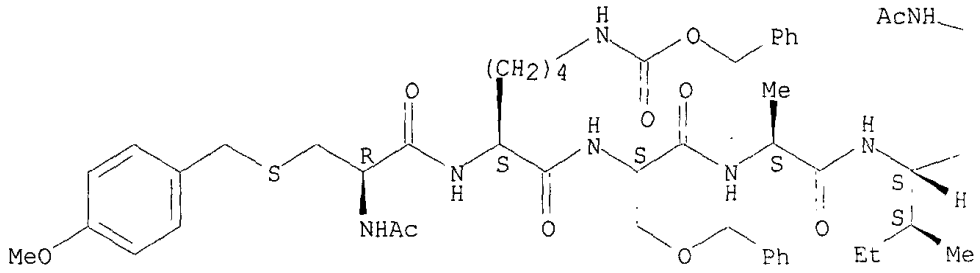
REFERENCE 2: 116:236110

L18 ANSWER 70 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **113739-34-7** REGISTRY
CN L-Cysteinamide, N-acetyl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-O-(phenylmethyl)-L-seryl-L-alanyl-L-isoleucyl-S-[(acetylamino)methyl]-L-cysteinyl-L-alanyl-L-leucyl-L-seryl-L-tyrosyl-L-prolyl-L-alanyl-L-glutaminyl-S-[(acetylamino)methyl]-L-cysteinyl-L-phenylalanyl-S-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C112 H155 N21 O27 S4
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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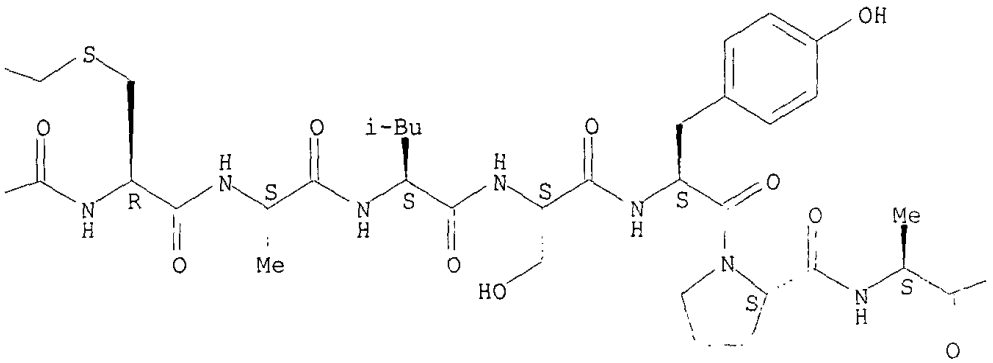
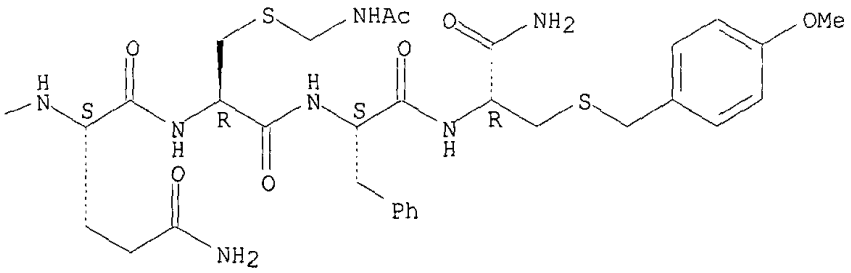




2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

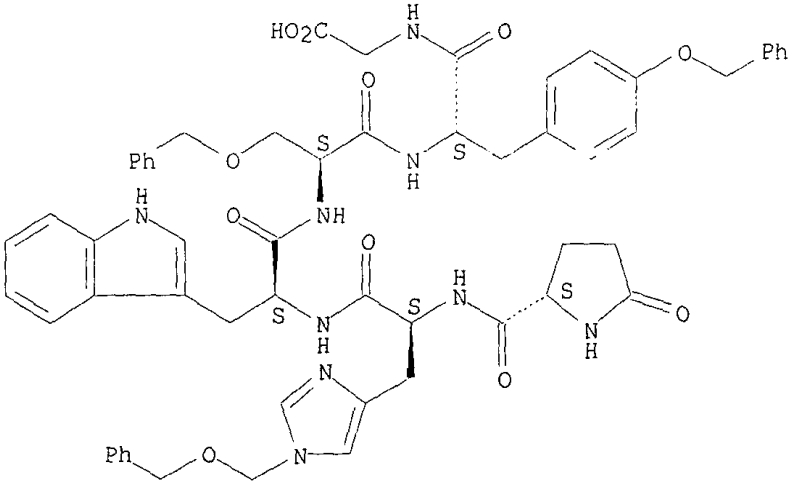
REFERENCE 1: 110:24288

REFERENCE 2: 108:146058

L18 ANSWER 74 OF 74 REGISTRY COPYRIGHT 2002 ACS
RN **99087-75-9** REGISTRY
CN Glycine, N-[N-[N-[N-[N-(5-oxo-L-prolyl)-1-[(phenylmethoxy)methyl]-L-histidyl]-L-tryptophyl]-O-(phenylmethyl)-L-seryl]-O-(phenylmethyl)-L-tyrosyl]- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C58 H61 N9 O11
SR CA
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 107:113867

REFERENCE 2: 104:51096